Accepted Manuscript

Title: Metal-free trifluoromethylthiolation of arenediazonium salts with Me_4NSCF_3

Authors: Giulia Bertoli, Benjamin Exner, Mathies V. Evers, Kristina Tschulik, Lukas J. Gooßen



1

PII:	S0022-1139(18)30095-2
DOI:	https://doi.org/10.1016/j.jfluchem.2018.03.01
Reference:	FLUOR 9139
To appear in:	FLUOR
Received date:	28-2-2018
Revised date:	23-3-2018
Accepted date:	24-3-2018

Please cite this article as: Bertoli G, Exner B, Evers MV, Tschulik K, Gooßen LJ, Metal-free trifluoromethylthiolation of arenediazonium salts with Me₄NSCF₃, *Journal of Fluorine Chemistry* (2010), https://doi.org/10.1016/j.jfluchem.2018.03.011

This is a PDF file of an unedited manuscript that has been accepted for publication. As a service to our customers we are providing this early version of the manuscript. The manuscript will undergo copyediting, typesetting, and review of the resulting proof before it is published in its final form. Please note that during the production process errors may be discovered which could affect the content, and all legal disclaimers that apply to the journal pertain.

ACCEPTED MANUSCRIPT

Metal-free trifluoromethylthiolation of arenediazonium salts with Me₄NSCF₃

Giulia Bertoli^a, Benjamin Exner^a, Mathies V. Evers^b, Kristina Tschulik^b and Lukas J. Gooßen^{a,*}

^a Fakultät für Chemie und Biochemie, Ruhr-Universität Bochum, Universitätsstraße 150, ZEMOS 2.27, 44801 Bochum, Germany.

^b Micro- & Nano-Electrochemistry, Center for Electrochemical Sciences (CES), Ruhr-Universität Bochum, ZEMOS 1.45, Universitätsstr. 150, 44801, Bochum, Germany.

*E-Mail address: lukas.goossen@rub.de, phone: +49 234 32 19075, fax: +49 234 32 14675

Graphical abstacrt



Highlights

- Arenediazonium salts are converted into aryl trifluoromethyl thioethers without the use of any metal mediators.
- The transformation is based on readily available starting materials and tolerates various functional groups.
- Cyclic voltammetry was used to elucidate the reaction mechanism.
- The aryltrifluoromethyl thioether products are interesting for applications in drug discovery.

Abstract

A metal-free entry to the pharmaceutically meaningful substrate class of trifluoromethyl thioethers has been developed starting from widely available arenediazonium salts and commercially available $Me_4N^+SCF_3^-$. This reaction proceeds within one hour at 0 °C and is applicable to a wide range of functionalized substrates.

Keywords: trifluoromethylthiolations; arenediazonium salts; trifluoromethyl thioethers; radicals; cyclovoltammetry

1. Introduction

Fluorine-containing molecules are abundant in pharmaceuticals, agrochemicals, material and surface sciences.[1] Their increased lipophilicity compared to the non-fluorinated analogs allows modulating solubility, bioavailability and adhesive properties. Hence, powerful methods for the selective introduction of fluorinated residues into functionalized molecules are constantly sought. In this context, SCF₃ groups, which induce particularly high lipophilicity and membrane permeability[2] have recently received increased attention. Examples of biologically active compounds containing SCF₃ groups include vaniliprole, toltrazuril and tiflorex (Figure 1).

Download English Version:

https://daneshyari.com/en/article/7752388

Download Persian Version:

https://daneshyari.com/article/7752388

Daneshyari.com